Figure 1

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Figure 2A

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## Figure 2C

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### Figure 3A

### Figure 3B

### 5/55

# Figure 3C

$$H_2N$$
 $H_1$ 
 $H_2N$ 
 $H_2N$ 
 $H_3$ 
 $H_4$ 
 $H_5$ 
 $H_5$ 
 $H_5$ 
 $H_5$ 
 $H_5$ 
 $H_5$ 
 $H_7$ 
 $H$ 

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# Figure 3D

#### 7/55

### Figure 4A

$$H_{2}N \rightarrow H_{2}$$
 $H_{2}N \rightarrow H_{2}$ 
 $H_{3}N \rightarrow H_{2}$ 
 $H_{4}N \rightarrow H_{2}$ 
 $H_{2}N \rightarrow H_{2}$ 

#### Tat<sub>49-57</sub> (RKKRRPPRR)

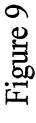
#### Figure 4B

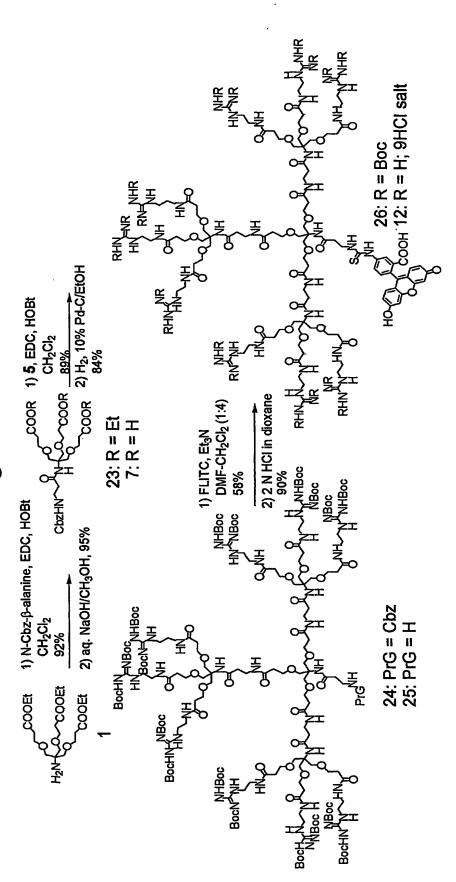
R9 (9-mer of L-Arg))

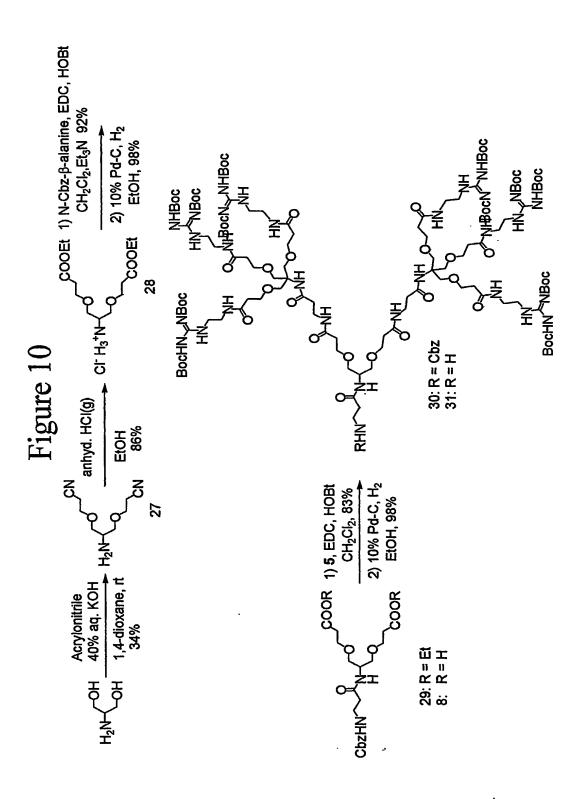
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#### 11/55

## Figure 8







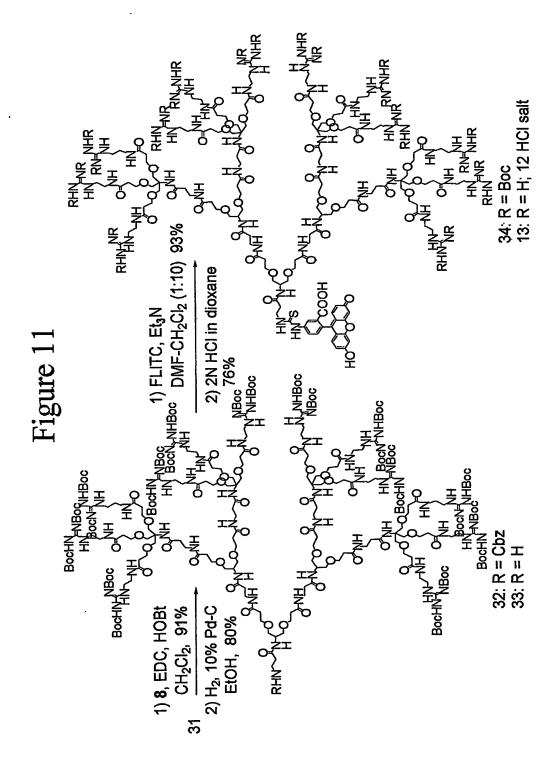


Figure 12

NH2CH2CH2NHBoc CbzHN. EDC, HOBt, Et<sub>3</sub>N, CH<sub>2</sub>Cl<sub>2</sub> 73% EDC, HOBt, CH<sub>2</sub>Cl<sub>2</sub> 91% le 2) aq. NaOH/MeOH 95% 1) Cbz-β-alanine

35: R = Me 36: R = H

37

H<sub>2</sub>, Pd-C EtoH 98% CbzHN

38

2) (Boc)<sub>2</sub>TfGuan, Et<sub>3</sub>N CHCl<sub>3</sub>MeOH (10:1) overall 96%

1) 2N HCl dioxane

33

COOH 2) 30% TFA in CH<sub>2</sub>Cl<sub>2</sub> 84% 1) FLITC, Et<sub>3</sub>N, DMF-CH<sub>2</sub>Cl<sub>2</sub> (1:5) 97%

40: R = Boc 41: R - H, TFA salt

Figure 13A

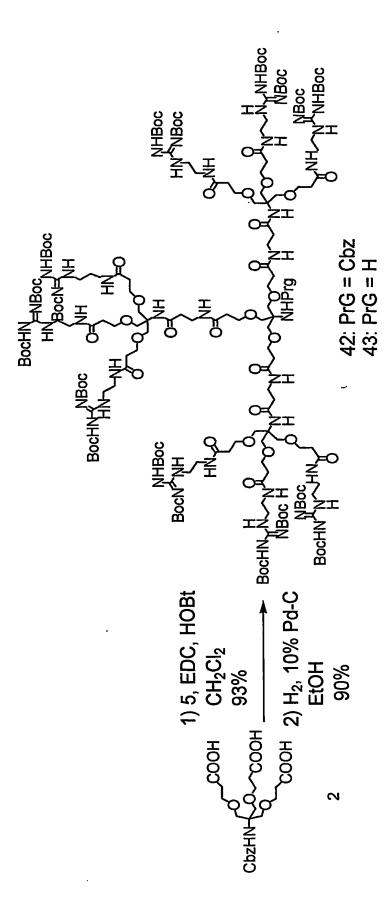
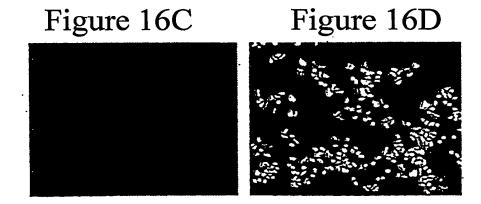


Figure 13B

### 19/55 Figure 15

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Figure 16A Figure 16B



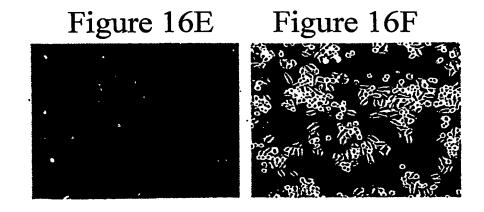
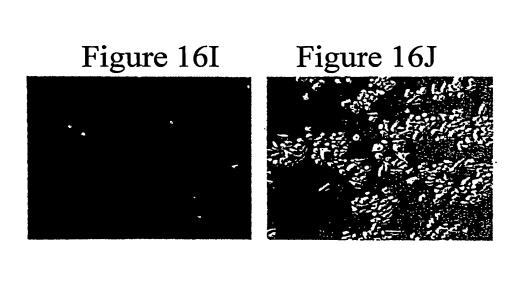
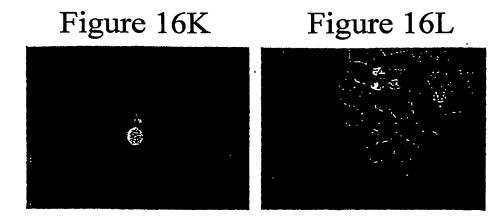


Figure 16G Figure 16H





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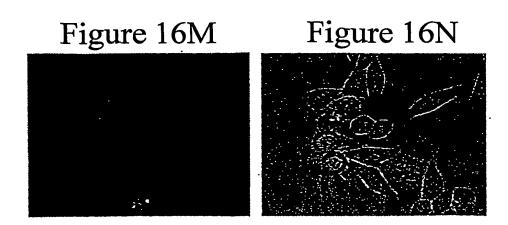
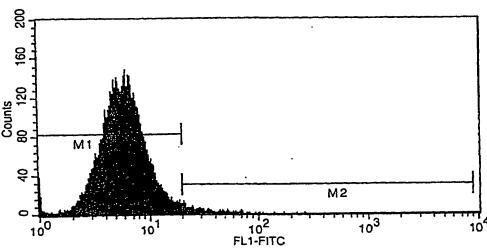


Figure 17A



23/55 Figure 17B

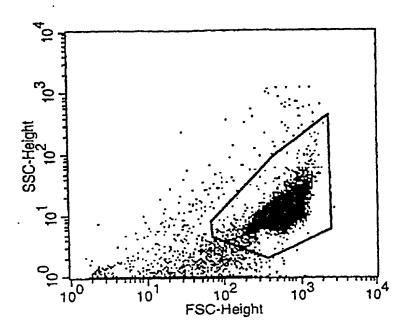
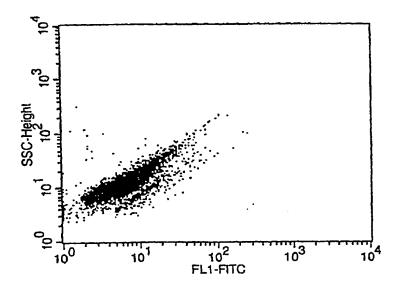


Figure 17C



24/55 Figure 18A

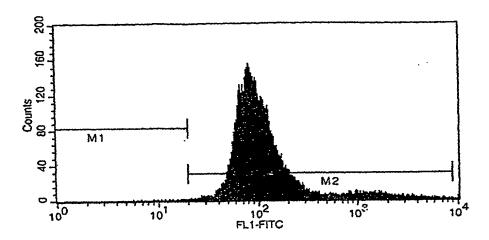
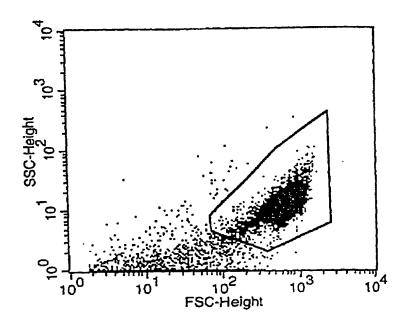


Figure 18B



25/55 Figure 18C

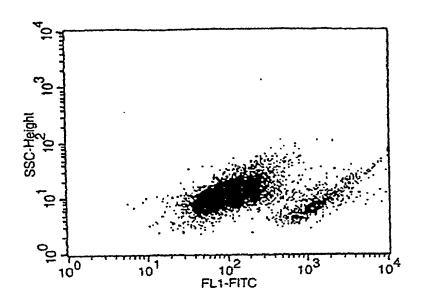
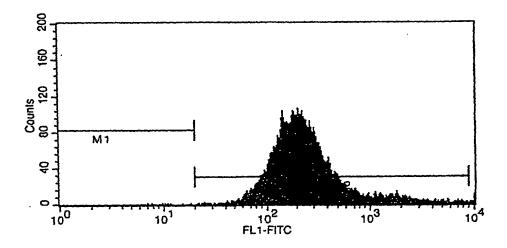


Figure 19A



26/55 Figure 19B

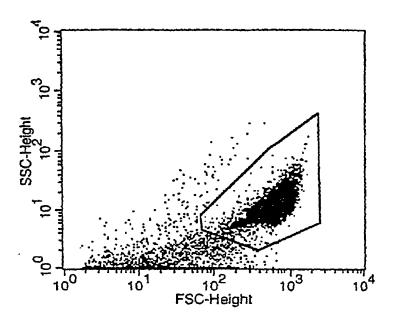
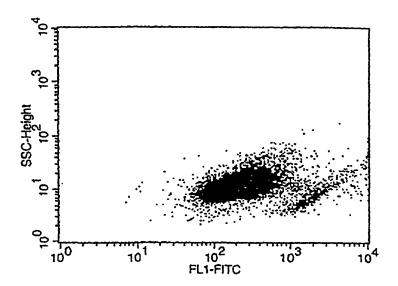


Figure 19C



27/55 Figure 20

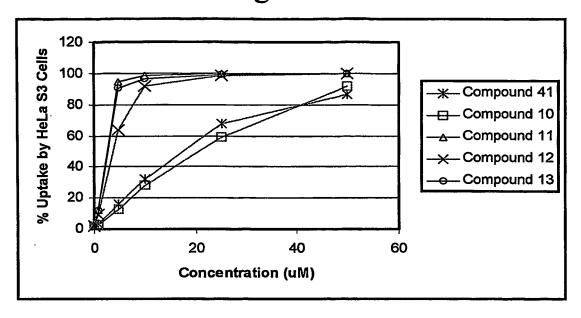
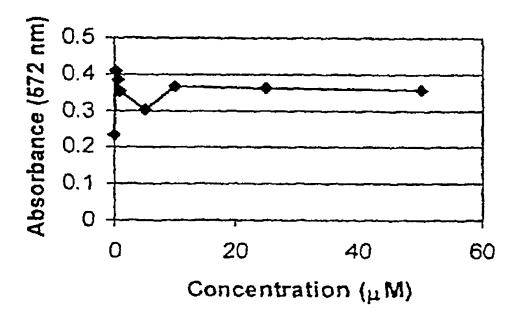


Figure 21



28/55 Figure 22A

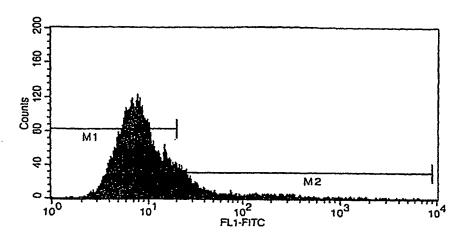
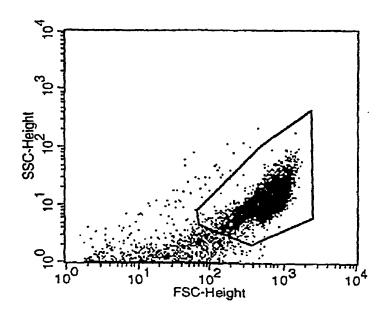


Figure 22B



29/55 Figure 22C

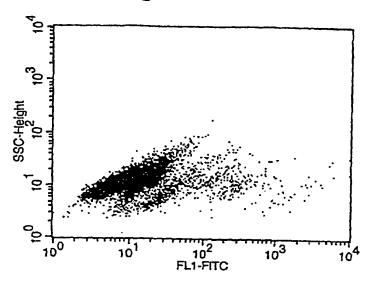
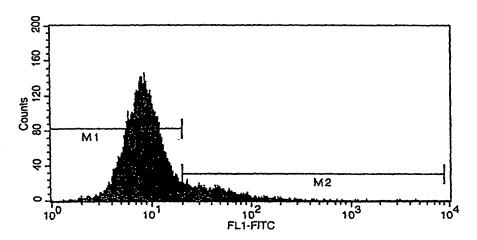


Figure 23A



30/55 Figure 23B

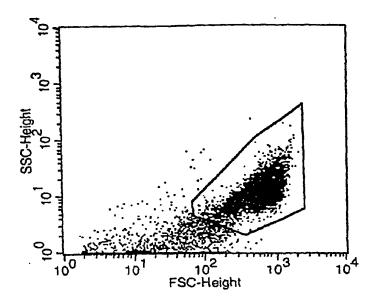
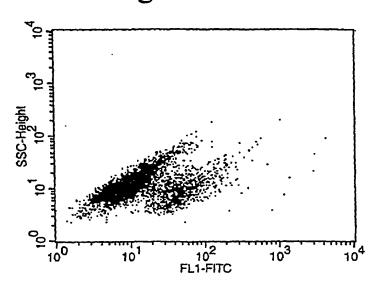


Figure 23C



31/55 Figure 24A

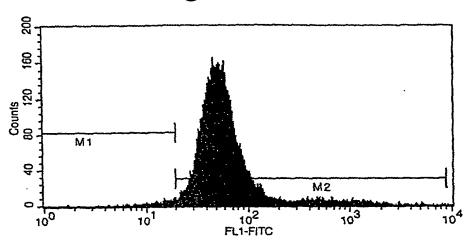
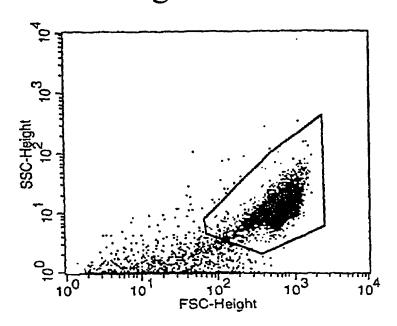


Figure 24B



32/55 Figure 24C

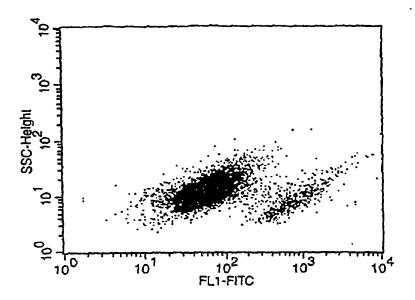
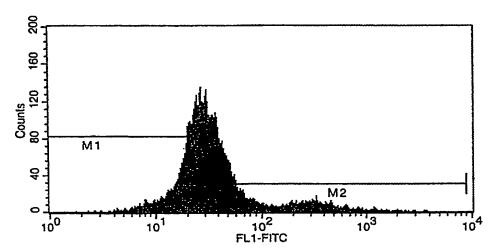


Figure 25A



33/55 Figure 25B

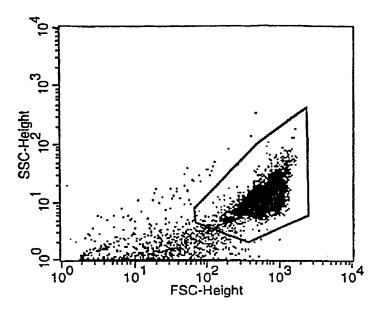
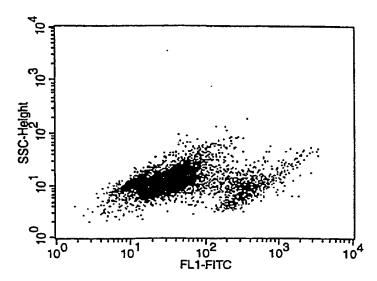


Figure 25C



34/55 Figure 26A

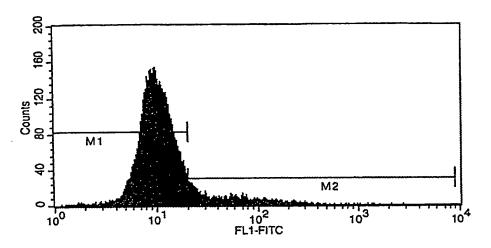
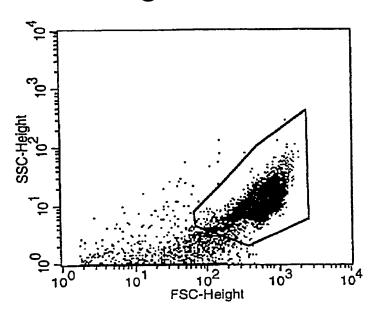
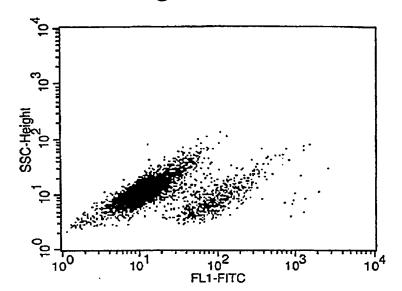


Figure 26B



35/55 Figure 26C



# 36/55 Figure 27A

# 37/55 Figure 27B

# 39/55 Figure 28A

40/55 Figure 28B

41/55 Figure 28C

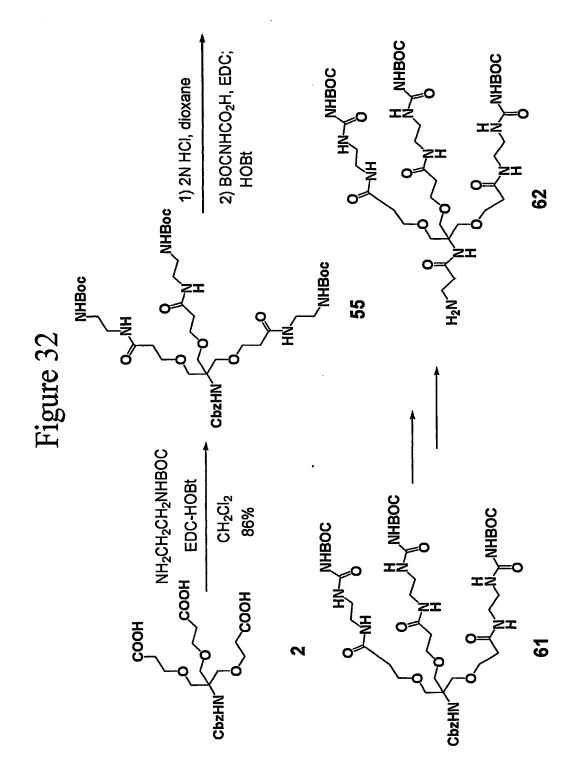
Figure 29

# 43/55 Figure 30

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**59** 

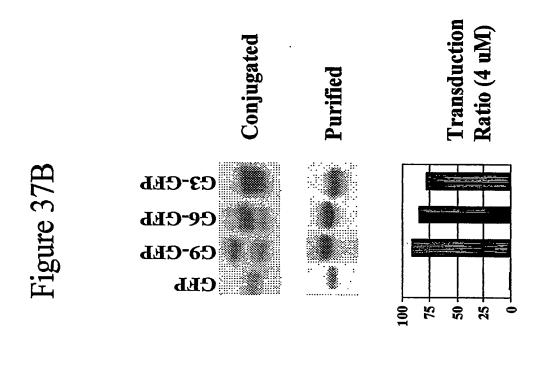
## 44/55 Figure 31

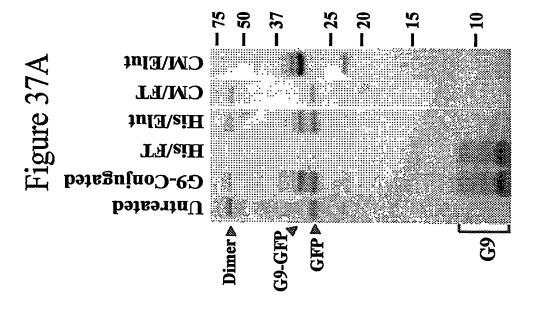


## 46/55 Figure 33

62 **ЙНВОС ЙНВОС** NHBOC NHBOC COBHN NHBOC NHCbz

68:  $R = H \leftarrow 67$ : R = Boc





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Figure 38A



Figure 38B

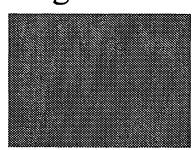


Figure 38C

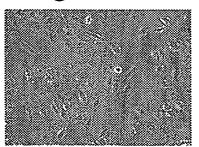
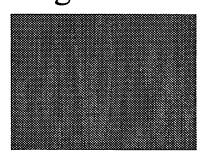


Figure 38D



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Figure 38E

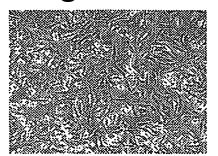


Figure 38F

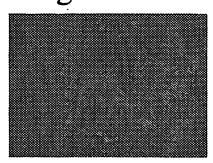


Figure 38G

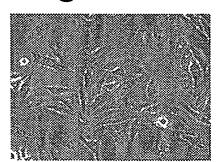
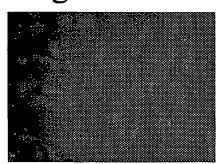


Figure 38H



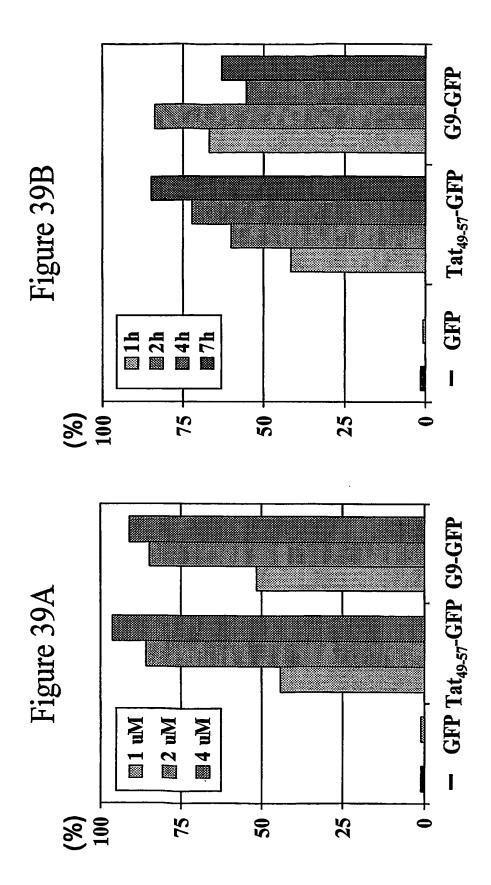


Figure 40A

Figure 40C

Figure 40B

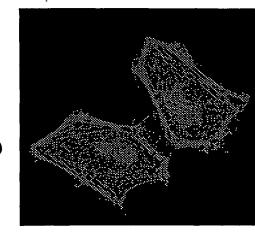


Figure 41C Figure 41E Figure 41B Figure 41A Figure 41D

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